



R
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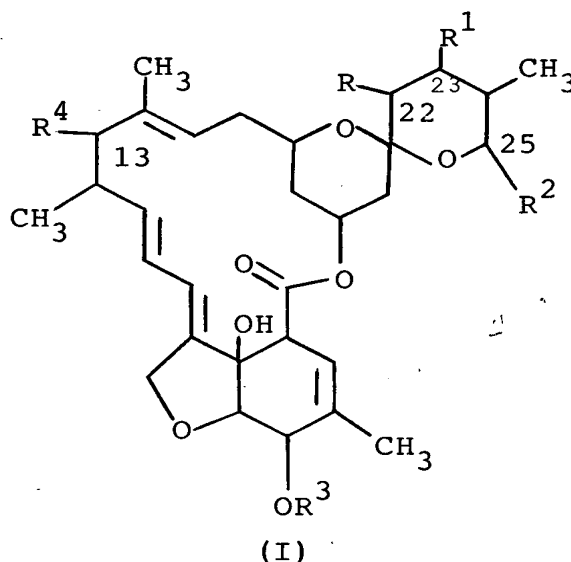
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PATENT
SPC 6947/6970/A

ANTIPARASITIC AGENTS AND
PROCESS FOR THEIR PREPARATION

Abstract

The invention provides novel compounds having the
5 formula:



B wherein R when taken individually is H; R¹ when taken individually is H or OH; R and R¹ when taken together represent a double bond;

P 10 R² is an alpha-branched C₃₋₈ alkyl, alkenyl, alkynyl, alkoxyalkyl or alkylthioalkyl group; a C₃₋₈ cycloalkyl, C₅₋₈ cycloalkenyl or C₅₋₈ cycloalkylalkyl group, any of which may be substituted by methylene or one or more C₁₋₄ alkyl groups or halo atoms; or a 3 to
15 6 membered oxygen or sulphur containing heterocyclic

ring which may be substituted by one or more C_1-C_4 alkyl groups or halo atoms;

R^3 is hydrogen or methyl;

R^4 is H or 4'-(alpha-L-oleandrosyl)-alpha-L-olean-

- 5 drosyloxy with the proviso that when R^2 is alkyl it is not isopropyl or sec-butyl; when R^4 is H, each of R and R^1 is H, and R^2 is not methyl or ethyl; and when R^4 is H, R is H, R^1 is OH, and R^2 is not 2-buten-2-yl, 2-penten-2-yl or 4-methyl-2-penten-2-yl.

PA10

- The compounds are broad spectrum antiparasitic agents having utility as anthelmintics, ectoparasitocides, insecticides and acaricides. The invention also provides a process for producing the novel avermectin and milbemycin derivatives by adding a carboxylic acid
15 or derivative thereof to a fermentation of an avermectin or milbemycin producing organism.